

Chemical structure I is a complex molecule. It features a bicyclic system consisting of a cyclohexane ring fused to a cyclopentane ring. The cyclopentane ring is substituted with a side chain at the 2-position. This side chain includes a chiral center (R3) with a methyl group (wedge bond) and a hydrogen atom (dashed bond). The side chain continues with a linker (Y-Q) and a repeating unit (R1, R2, X). The bicyclic system is further substituted with a vinyl group and a hydroxyl group (HO).

R^1 and R^2 , which may be the same or different, represent hydrogen

or a residue after removal of 1 hydrogen atom from a straight, branched or cyclic, saturated or unsaturated, C₁-C₆-hydrocarbon; or R¹ and R², together with the carbon atom to which they are attached (marked with an asterisk in formula I), bearing the group X, form a C₃-C₈ carbocyclic ring;

Q represents a diradi-cal residue after removal of 2 hydrogen atoms from a straight, branched or cyclic, saturated or unsaturated C₁-C₈-hydrocarbon;

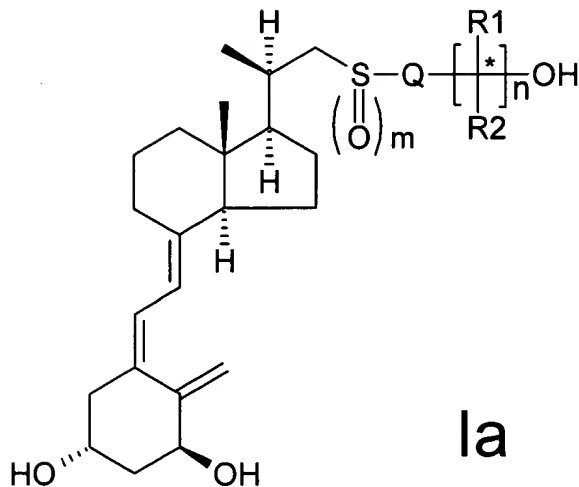
R³ represents hydrogen or a residue after removal of 1 hydrogen atom from a straight, branched or cyclic, saturated or unsaturated C₁-C₆-hydrocarbon;

R¹, R² and/or Q is optionally substituted with one or more deuterium or fluorine atoms; and

n is 0 or 1;

and derivatives of the compounds of formula I in which one or more hydroxy groups have been transformed into -O-acyl or -O-glycosyl groups, or a phosphate ester, such masked groups being hydrolyzable in vivo; or

administering to a patient in need thereof an effective amount of a compound of formula Ia



wherein R^1 , R^2 , and Q have the meanings specified above; $m=0$, 1 or 2; and $n=1$.

2. (Previously Presented) The method according to claim 1, wherein Y represents sulphur or oxidized sulphur selected from the groups $S(O)$ or $S(O_2)$.

3. (Previously Presented) The method according to claim 1, wherein R^1 and R^2 , together with the carbon atom to which they are attached (marked with an asterisk in formula I), bearing the group X, form a C_3 - C_5 alkylene group or a C_3 - C_5 carbocyclic ring.

4. (Previously Presented) The method according to claim 1, wherein Q represents a phenylene group optionally substituted with one or more fluorine atoms.

5. (Previously Presented) The method according to claim 1, wherein R³ represents hydrogen.

6. (Previously Presented) The method according to claim 1, wherein n is 1.

7. (Canceled)

8. (Previously Presented) The method according to claim 1, wherein the compound is selected from the group consisting of:
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-methyl-1-butoxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 102),
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentyloxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 103),
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-methyl-1-pentyloxymethyl)-9,10-seco-pregna-(Z),7(E),10(19)-triene (Compound 106),
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-methyl-1-pent-2(E)-enyloxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 107)
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-methyl-1-pent-2-ynyloxy-

thyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 108),
 1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-trifluoromethyl-5,5,5-tri-
 fluoro-1-pent-2-ynyloxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-
 triene (Compound 109),
 1(S),3(R)-Dihydroxy-20(R)-[3-(2-hydroxy-2-propyl)-phenoxy-methyl]-
 -9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 111),
 1(S),3(R)-Dihydroxy-20(R)-(2-hydroxy-2-methyl-1-propylthio-
 methyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 116),
 1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-methyl-1-butylthiomethyl)-
 -9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 117),
 1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentylthiomethyl)-
 -9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 121),
 1(S),3(R)-Dihydroxy-20(R)-(5-hydroxy-5-methyl-1-hexyloxymethyl)-
 9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 126),
 1(S),3(R)-Dihydroxy-20(R)-[2-(2-hydroxy-2-propyl)-phenoxy
 methyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 127),
 1(S),3(R)-Dihydroxy-20(R)-[2-(3-hydroxy-3-pentyl)-phenoxy-
 methyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 128),
 1(S),3(R)-Dihydroxy-20(R)-[3-(3-hydroxy-3-pentyl)-phenoxy-
 methyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 129),
 1(S),3(R)-Dihydroxy-20(R)-[4-(2-hydroxy-2-propyl)-phenoxy-
 methyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 130),
 1(S),3(R)-Dihydroxy-20(R)-[4-(3-hydroxy-3-pentyl)-phenoxy-

methyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 131),
 1(S),3(R)-Dihydroxy-20(R)-[3-(hydroxymethyl)-phenoxyethyl]-
 9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 132),
 1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 133),
 1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 134),
 1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentylsulphonylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 135),
 1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-methyl-1-pentylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 136),
 1(S),3(R)-Dihydroxy-20(R)-(3-(hydroxymethyl)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 137),
 1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-methyl)ethyl)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 138),
 (S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-ethyl-1-hex-2-ynyloxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 139),
 1(S),3(R)-Dihydroxy-20(R)-(2-hydroxyphenoxyethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 140),
 1(S),3(R)-Dihydroxy-20(R)-(3-hydroxyphenoxyethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 141),
 1(S),3(R)-Dihydroxy-20(R)-(2-((1-hydroxy-1-

methyl)ethyl)phenylthiomethyl)-9,10-seco-pregna-
 5(Z),7(E),10(19)-triene (Compound 142),
 1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-
 ethyl)propyl)phenylthiomethyl)-9,10-seco-pregna-
 5(Z),7(E),10(19)-triene (Compound 144),
 1(S),3(R)-Dihydroxy-20(R)-(4-((1-hydroxy-1-
 methyl)ethyl)phenylthiomethyl)-9,10-seco-pregna-
 5(Z),7(E),10(19)-triene (Compound 145),
 1(S),3(R)-Dihydroxy-20(R)-(2-hydroxy)phenylthiomethyl)-9,10-
 seco-pregna-5(Z),7(E),10(19)-triene (Compound 147),
 1(S),3(R)-Dihydroxy-20(R)-(3,3-difluoro-4-hydroxy-4-methyl-1-pen-
 tyloxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene
 (Compound 153),
 1(S),3(R)-Dihydroxy-20(R)-(4-(hydroxymethyl)phenylthiomethyl)-
 9,10-seco-pregna-5(Z),7(E),10(19)-triene, (Compound 163),
 1(S),3(R)-Dihydroxy-20(R)-(4--((1-hydroxy-1-
 ethyl)propyl))phenylthiomethyl)-9,10-seco-pregna-
 5(Z),7(E),10(19)-triene, (Compound 164),
 1(S),3(R)-Dihydroxy-20(R)-(4--((1-hydroxy-1-
 methyl)ethyl))phenylthiomethyl)-22(R)-methyl-
 9,10-seco-pregna-5(Z),7(E),10(19)-triene, (Compound 165), and
 1(S),3(R)-Dihydroxy-20(R)-(4--((1-hydroxy-1-
 methyl)ethyl))phenylthiomethyl)-22(S)-methyl-

9,10-seco-pregna-5(Z),7(E),10(19)-triene, (Compound 166), for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related bone disorders.

9. (Canceled)

10. (Previously Presented) The method according to claim 1, wherein a compound according to formula Ia is administered and wherein Q represents an unsubstituted phenylene group.

11. (Previously Presented) The method according to claim 1, wherein a compound of formula Ia is administered and is selected from the group consisting of:

1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 149),

1(S),3(R)-Dihydroxy-20(R)-(3,3-difluoro-4-hydroxy-4-methyl-1-pentylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 155),

1(S),3(R)-Dihydroxy-20(R)-(3,3-difluoro-4-hydroxy-4-methyl-1-pentylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 156),

1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-methyl)ethyl)phenylsulphinylmethyl)-9,10-seco-pregna-

5(Z),7(E),10(19)-triene (Compound 157) (diastereoisomeric sulfoxide of compound 159),

1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-methyl)ethyl)phenylsulphonylmethyl)-9,10-seco-pregna-

5(Z),7(E),10(19)-triene (Compound 158),

1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-methyl)ethyl)phenylsulphinylmethyl)-9,10-seco-pregna-

5(Z),7(E),10(19)-triene (Compound 159) (diastereoisomeric sulfoxide of compound 157),

1(S),3(R)-Dihydroxy-20(R)-(4-((1-hydroxy-1-methyl)ethyl)phenylsulphonylmethyl)-9,10-seco-pregna-

5(Z),7(E),10(19)-triene (Compound 160),

1(S),3(R)-Dihydroxy-20(R)-(4-((1-hydroxy-1-methyl)ethyl)phenylsulphinylmethyl)-9,10-seco-pregna-

5(Z),7(E),10(19)-triene (Compound 161) (diastereoisomeric sulfoxide of compound 162) and

1(S),3(R)-Dihydroxy-20(R)-(4-((1-hydroxy-1-methyl)ethyl)phenylsulphinylmethyl)-9,10-seco-pregna-

5(Z),7(E),10(19)-triene (Compound 162) (diastereoisomeric sulfoxide of compound 161).

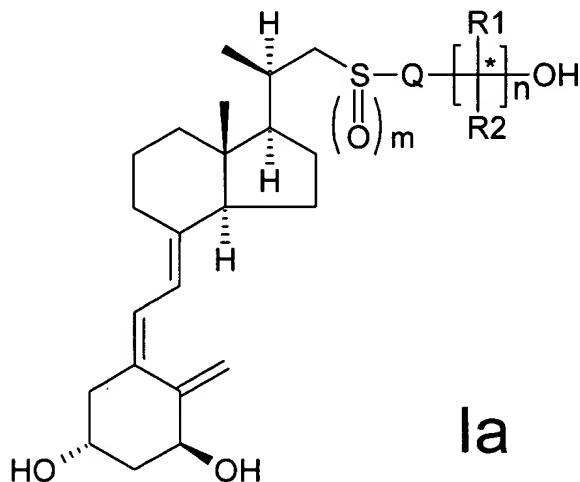
12. (Previously Presented) The method according to claim 1, wherein the compound is administered together with pharma-

ceutically acceptable, non-toxic carriers and/or auxiliary agents.

13. (Previously Presented) The method according to claim 12, wherein the compound is in dosage unit form.

14. (Previously Presented) The method according to claim 13, wherein the dosage unit form contains from about 0.5 μg - about 6 mg of a compound of formula I or Ia.

15. (Currently Amended) A method for the treatment and prophylaxis of osteoporosis and related bone conditions, with the proviso that said osteoporosis and related bone conditions are not caused by hyperparathyroidism, comprising administering to a patient in need thereof an effective amount of a compound of formula Ia



wherein R^1 and R^2 , which may be the same or different, represent hydrogen or a residue after removal of 1 hydrogen atom from a straight, branched or cyclic, saturated or unsaturated, C_1 - C_6 -hydrocarbon; or R^1 and R^2 , together with the carbon atom to which they are attached (marked with an asterisk in formula Ia), bearing the group X, form a C_3 - C_8 carbocyclic ring;

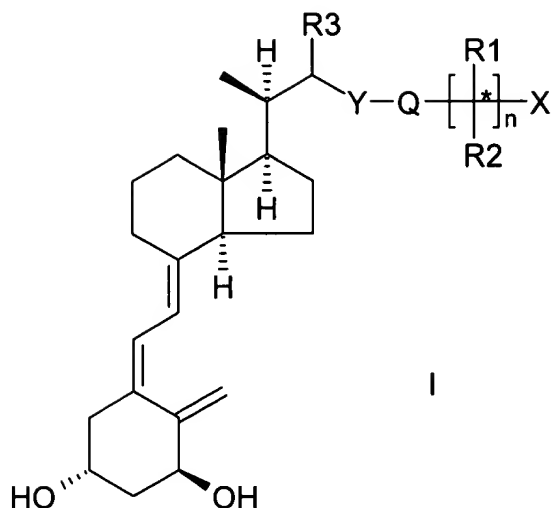
Q represents a diradical residue after removal of 2 hydrogen atoms from a straight, branched or cyclic, saturated or unsaturated C_1 - C_8 -hydrocarbon;

R^1 , R^2 and/or Q is optionally substituted with one or more deuterium or fluorine atoms;

$m=0, 1$ or 2 ; and $n=1$.

16. - 20. (Canceled)

21. (Currently Amended) A method for the treatment and prophylaxis of osteoporosis and related bone conditions, with the proviso that said osteoporosis and related bone conditions are not caused by hyperparathyroidism, comprising administering to a patient in need thereof an effective amount of a compound of formula I



wherein

X represents hydrogen or hydroxy;

Y represents oxygen or sulphur or oxidized sulphur selected from the groups S(O) and S(O₂);

R¹ and R², which may be the same or different, represent hydrogen or a residue after removal of 1 hydrogen atom from a straight, branched or cyclic, saturated or unsaturated, C₁-C₆-hydrocarbon; or R¹ and R², together with the carbon atom to which they are attached (marked with an asterisk in formula I), bearing the group X, form a C₃-C₈ carbocyclic ring;

Q represents a diradical residue after removal of 2 hydrogen atoms from a straight, branched or cyclic, saturated or unsaturated C₁-C₈-hydrocarbon;

R³ represents hydrogen or a residue after removal of 1 hydrogen atom from a straight, branched or cyclic, saturated or

unsaturated C₁-C₆-hydrocarbon;

R¹, R² and/or Q is optionally substituted with one or more deuterium or fluorine atoms; and

n is 0 or 1;

and derivatives of the compounds of formula I in which one or more hydroxy groups have been transformed into -O-acyl or -O-glycosyl groups, or a phosphate ester, such masked groups being hydrolyzable in vivo.

22. (Previously Presented) The method according to claim 13, wherein the dosage unit form contains containing from about 0.5 µg - about 6 mg of a compound of formula I or Ia.

23. (Previously Presented) The method according to claim 3, wherein said C₃-C₅ carboxylic ring is saturated.

24. (New) The method according to claim 1, wherein the method is directed to the treatment of osteoporosis.

25. (New) The method according to claim 15, wherein the method is directed to the treatment of osteoporosis.

26. (New) The method according to claim 21, wherein the method is directed to the treatment of osteoporosis.

27. (New) The method according to claim 1, wherein the method is directed to the treatment of steroid induced, senile or postmenopausal osteoporosis.

28. (New) The method according to claim 15, wherein the method is directed to the treatment of steroid induced, senile or postmenopausal osteoporosis.

29. (New) The method according to claim 21, wherein the method is directed to the treatment of steroid induced, senile or postmenopausal osteoporosis.

30. (New) The method according to claim 2, wherein the method is directed to the treatment of osteoporosis.

31. (New) The method according to claim 3, wherein the method is directed to the treatment of osteoporosis.

32. (New) The method according to claim 4, wherein the method is directed to the treatment of osteoporosis.

33. (New) The method according to claim 5, wherein the method is directed to the treatment of osteoporosis.

34. (New) The method according to claim 6, wherein the method is directed to the treatment of osteoporosis.

35. (New) The method according to claim 8, wherein the method is directed to the treatment of osteoporosis.

36. (New) The method according to claim 10, wherein the method is directed to the treatment of osteoporosis.

37. (New) The method according to claim 11, wherein the method is directed to the treatment of osteoporosis.

38. (New) The method according to claim 12, wherein the method is directed to the treatment of osteoporosis.

39. (New) The method according to claim 13, wherein the method is directed to the treatment of osteoporosis.

40. (New) The method according to claim 14, wherein the method is directed to the treatment of osteoporosis.

41. (New) The method according to claim 22, wherein the method is directed to the treatment of osteoporosis.

42. (New) The method according to claim 23, wherein the method is directed to the treatment of osteoporosis.